FORM PTO-1449

NEORMATION DISCLOSURE STATEMENT

Docket No: LD0284 NP Sheet 10016 Serial No.: 10/055 HEGH C Group: 1842

Serial No.: 10/055 £534 Group: Applicant: Bandyopadhyall £41 January 23, 2002

U.S. PATENT DOCUMENTS

A OF MANY								
xaminer Initial		Document Number	.Date	Name	:Class	Sub- class	Filing Date	
9-47	AA	08/856,533	5/14/97	Nicolaou, K., et al.			5/14/97	
7100	AB	08/923,869	9/4/97	Nicolaou, K., et al.			9/4/97	
1	AC	60/032,864	12/13/96	Nicolaou, K., et al.			12/13/96	
	AD	6,194,181 B1	2/27/01	Hofmann, H., et al.			2/12/99	
1	ΑE	6,204,388 B1	3/20/01	Danishefsky, S.J., et al.			2/24/99	
2-110	AF	6,211,412 B1	4/3/01	Georg et al.		· '	3/29/99	

FOREIGN PATENT DOCUMENTS

		*		N PATENT DOCUMENTS			
Examin	ler,	Document: Number		Country	Class*	Sub- class	Filing Date ⊬
9-H/1	BA	4138042.8	5/27/93	Germany (German and English abstract)	Language A. S. A. Vinderson		
1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1	ВВ	19639456.2	5/29/97	Germany (German and English translation)			
	ВС	19542986.9	5/29/97	Germany (German and English translation)		,	
	BD	19636343.8	3/5/98	Germany (German and English abstract)	ļ		
	BE	19645361.5	4/30/98	Germany (German and English abstract)			
	BF	19645362.3	4/30/98	Germany (German and English abstract)			
	BG	19647580.5	5/28/98	Germany (German and English translation)			
	ВН	19701758	7/23/98	Germany (German and English abstract)			
	BI	19707505.3	9/3/98	Germany (German and English abstract)			
	BJ	19713970	10/8/98	Germany (German and English abstract)			
	BK	19720312	11/19/98	Germany (German and English abstract)		******	
· \	BL	19821954	11/19/98	Germany (German and English abstract)			
	ВМ	879 605	11/25/98	Europe (German and English abstract)			
	BN	19726627	12/24/98	Germany (German and English abstract)			
	ВО	93/10121	5/27/93	World (PCT as published and English abstract)	/		
	BP	97/19086	5/29/97	World (PCT as published and English translation)			
	BQ	98/08849	3/5/98	VVorld (PCT as published and English abstract)		·	
	BR	98/22461	5/28/98	World (PCT as published and English translation)			,
9118	(BS	98/24427	6/11/98	World			

James Manner 2/25/03

FORM PTO-1449
RMATION DISCLOSURE STATEMENT

Docket No: LD0284 NFC Sheet 2 of 602
Serial No.: 10/055,653 LLN Filling Date: Applicant: Filling Date: January 23, 2002

ريبر 2 6 2002 ع				Filing Date: Ja	anuary 23, 2002
TRADENT	ВТ	98/25929	6/18/98	World (PCT as published and priority documents: U.S. 60/032,864, 08/856,533, 08/923,869)	,
	BU	98/38192	9/3/98	World (PCT as published and English abstract)	3
	BV	98/47891	10/29/98	World (PCT as published and English abstract)	
	BW	99/01124	1/14/99	World	
	ВХ	99/03848	1/28/99	World (PCT as published and English abstract)	
	BY	99/07692	2/18/99	World (PCT as published and English translation)	
	BZ	99/39694	8/12/99	World (PCT as published)	
	ВАА	99/42602	8/26/99	World (PCT as published)	
	BAB	99/43320	9/2/99	World (PCT as published)	
	BAC	00/37473	6/29/00	World (PCT as published)	
	BAD	00/00485	1/6/00	World (PCT as published and English translation)	
	BAE	00/66589	11/9/00	World (PCT as published)	
	BAF	99/43653	9/2/99	World (PCT as published)	
	BAG	99/02514	1/21/99	World (PCT as published)	
	BAH	00/31247	6/2/00	World (PCT as published)	
	BAI	00/49021	8/24/00	World (PCT as published)	
	BAJ	99/67252	12/20/99	World (PCT as published)	
	BAK	99/27890	6/10/99	World (PCT as published)	
1118	BAL	99/54319	10/28/99	World (PCT as published)	

			OTHER (Including Author, Title, Date, Pertinent Pages, etc.)
ſ	RIM	CĄ	Balog, A., et al., "Total Synthesis of (-)-Epothilone A", Angew. Chem. Int. Fd. Fngl., Vol. 35, No. 23/24, 2801-2803 (1996)
		СВ	Bertini, F., et al., "Alkenes from Epoxides by Reductive Elimination with Magnesium Bromide-Magnesium Amalgam", Chem. Commun.,144 (1970)
		CC	Bollag, D.M., et al., "Epothilones, A New Class of Microtubule-stabilizing Agents with a Taxol-like Mechanism of Action", Cancer Res. 55, No. 11, 2325-2333 (1995)
		CD	Fujisawa, T., et al., "Deoxygenation of Epoxides to Olefins with FeCl ₃ – n-BuLi System", <i>Chem. Lett.</i> , 883-886 (1974)
	HM	CE	Fujiwara, Y., et al., "Reductive Coupling of Carbonyl Compounds to Olefins by Tungsten Hexachloride-Lithium Aluminum Hydride and Some Tungsten and Molybdenum Carbonyls", <i>J. Org. Chem.</i> , Vol. 43, No. 12, 2477-2479 (1978)

James Mann 2/25/03



APR 2 9 2000

FORM PTO-1449
INFORMATION DISCLOSURE STATEMENT

Docket No: LD0284 NFERSIFEE 3 of 6 Serial No.: 1003 63 Group: 1642 Applicant: Bandyopadhyay et al. Filing Date: January 23, 2002

APR	2 6 200X	<u> </u>	Thing Date. Validary 20, 2002
<u>و</u> ا	RADEMARK	Ē/	ED (Including Author Title Date Destinant Pages at 1) (0 - utimes t)
Ø >		UIHI	ER (Including Author, Title, Date, Pertinent Pages, etc.) (Continued)
	RADEM	CF	Gladysz, J. A., et al., "Deoxygenation of Epoxides by Metal Atom Cocondensation", <i>J. Org. Chem.</i> , Vol. 41, No. 22, 3647-3648 (1976)
$\perp L$	m(~~	Lucio C. et al. "Encipione A and D. Nevel 16 Marshard Massalidae
		CG	Hofle, G., et al., "Epothilone A and B – Novel 16-Membered Macrolides
	ıl .		with Cytotoxic Activity: Isolation, Crystal Structure, and Conformation in
	11		Solution", Angew. Chem. Int. Ed. Engl., Vol. 35, No. 13/14, 1567-1569
) -		(1996)
	1	CH	Hofle, G., et al., "N-Oxidation of Epothilone A-C and O-Acyl
	1		Rearrangement to C-19 and C-21 –Substituted Epothilones", <i>Angew</i> .
	1		Chem. Int. Ed., Vol. 38, No. 13/14, 1971-1974 (1999)
	1	CI	Inokuchi, T., et al., "Opening of Epoxides to Olefins or Halohydrins with
			Vanadium(II)-Tetrahydrofuran or Vanadium(III)-Tetrahydrofuran
	l		Complexes", Synlett, No. 6, 510-512 (1992)
		CJ	Kowalski. R. J., et al., "Activities of the Microtubule-stabilizing Agents
	1	00	Epothilones A and B with Purified Tubulin and in Cells Resistant to
	J		Paclitaxel (Taxol®)" J. Biol. Chem., Vol. 272, No. 4, 2534-2541 (1997)
		1012	Facilitate (Taxolo) J. Biol. Chem., vol. 272, No. 4, 2534-2541 (1997)
		CK	Kupchan, S. M., et al., "Reductive Elimination of Epoxides to Olefins with
		1	Zinc-Copper Couple", J. Org. Chem., Vol. 36, No. 9, 1187-1190 (1971)
		CL	Martin, M. G., et al., "Epoxides as Alkene Protecting Groups. A Mild and
	1		Efficient Deoxygenation", Tetrahedron Letters, Vol. 25, No. 3, 251-254
	}		(1984)
		СМ	McMurry, J. E., et al., "Reduction of Epoxides to Olefins with Low Valent
	l		Titanium", J. Org. Chem., Vol. 40, No. 17, 2555-2556 (1975)
	1	CN	McMurry, J. E., et al., "Some Deoxygenation Reactions with Low-Valent
	1		Titanium (TiCl ₃ /LiAlH ₄)", J. Org. Chem., Vol. 43, No. 17, 3249-3254
	1		(1978)
	 	co	Meng, D., et al., "Remote Effects in Macrolide Formation Through Ring-
	1	.00	Forming Olefin Metathesis: An Application to the Synthesis of Fully Active
	1	1	Epothilone Congeners", J. Am. Chem. Soc., Vol. 119, No. 11, 2733-2734
	1	1	(1997)
	+	CP	
	1	UF	Nicolaou, K. C., et al., "An Approach to Epothilones Based on Olefin
	1	1	Metathesis", Angew. Chem. Int. Ed. Engl., Vol. 35, No. 20, 2399-2401
	· ·		(1996)
'	1	CQ	Nicolaou, K. C., et al., "Total Synthesis of Epothilone A: The
	1		Macrolactonization Approach", Angew. Chem. Int. Ed. Engl., Vol.36, No.
			5, 525-527 (1997)
		CR	Nicolaou, K. C., et. al., "Designed Epothilones: Combinatorial Synthesis,
	1		Tubulin Assembly Properties, and Cytotoxic Action against Taxol-
	}		Resistant Tumor Cells", Angew. Chem. Int. Ed. Engl., Vol. 36, No. 19,
	i]	2097-2103 (1997)
	1-	CS	Nicolaou, K.C., et al., "The Olefin Metathesis Approach to Epothilone A
	I		and Its Analogues", <i>J. Am. Chem.</i> Soc., Vol. 119, No. 34, 7960-7973
	1		(1997)
		СТ	Nicolaou, K. C., et al., "Total Syntheses of Epothilones A and B via a
Λ	14.	J ~ .	Macrolactonization-Based Strategy", J. Am. Chem. Soc., Vol. 119, No.
V.	LTY1	1 .	macroactonization-based ottategy, J. Atti. Ottetti. 300., Vol. 1 19, NO.

James Hleamen

34, 7974-7991 (1997)

2/25/03

FORM PTO-1449 INFORMATION DISCLOSURE STATEMENT

APR 2 6 2002

Docket No: LD0284 NP Sheet 4 of Serial No.: 10/055,653 Group: Applicant: Bandyopadhyay et al. Filing Date: January 23, 2002 Sheet 4 of 6 Group: 1642

3		5) 80 TUE	ED (Including Author Title Date Portingst Barge etc.) (Continued)
			R (Including Author, Title, Date, Pertinent Pages, etc.) (Continued)
PADEUM.		CU	Nicolaou, K. C., et al., "Synthesis of Epothilones A and B in Solid and Solution Phase", <i>Nature</i> , Vol. 387, 268-272 (1997)
_		CV	Nicolaou, K. C., et al., "Synthesis of Epothilones A and B in Solid and
-	ı		Solution Phase" (Correction to Nature 387, 268-272 (1997)), Nature, 390, 100
			(1997)
		CM	Raucher, S., et al., "Total Synthesis of (+)-Dihydrocostunolide via
			Tandem Cope-Claisen Rearrangement", <i>J. Org. Chem.</i> , Vol. 51, No. 26, 5503-5505 (1986)
		СХ	Sato, M, et al., "Reduction of Organic Compounds with Low-Valent Niobium (NbCl _s /NaAlH ₄)", Chem. Letters, 157-160 (1982)
		CY	Schinzer, D., et al., "Total Synthesis of (-)-Epothilone A", Angew. Chem. Int. Ed. Engl., Vol. 36, No. 5, 523-524 (1997)
		CZ	Schobert, R., et al., "Reduction and Isomerization of Oxiranes and α-
			Diazoketones by Various Early Transition Metallocenes", <i>Synlett</i> , Vol. 8, 465-466 (1990)
		CAA	Sharpless, K. B., et al., "Lower Valent Tungsten Halides. A New Class of
	1		Reagents for Deoxygenation of Organic Molecules", J. Amer. Chem. Soc.,
	1		Vol. 94, No.18, 6538-6540 (1972)
		CAB	Su, DS., et al., "Total Synthesis of (-)-Epothilone B: An Extension of the
			Suzuki Coupling Method and Insights into Structure-Activity Relationships
ŀ			of the Epothilones", Angew. Chem. Int. Ed. Engl., Vol. 36, No. 7, 757-759
			(1997)
		CAC	
			the First In Vivo Comparison with Paclitaxel", Angew. Chem. Int. Ed. Engl., Vol. 36, No. 19, 2093-2096 (1997)
		CAD	,,,,
			of the Novel Paclitaxel-Like Antimitotic Agent Epothilone A", Bioorg. Med.
	1		Chem. Letts., Vol. 6., No. 7, 893-898 (1996)
		CAE	Winkler, J. D., et al., "A Model For The Taxol (Paclitaxel)/Epothilone
			Pharmacophore", <i>Bioorg. Med. Chem. Letts.</i> , Vol. 6, No. 24, 2963-2966 (1996)
		CAF	Yang, Z., et al., "Total Synthesis of Epothilone A: The Olefin Metathesis
1			Approach", Angew. Chem. Int. Ed. Engl., Vol. 36, No. 1 / 2, 166-168
i I			(1997)
T		CAG	Bollag, D., et al., "Epothilone, A New Structural Class of Microtubule
			Stabilizer", Abstract, <i>Proc. Am. Assoc. Cancer Res.</i> , Vol. 36, 86 Meet. 454 (1995)
		CAH	Bollag, D., "Epothilones: Novel Microtubule-Stabilising Agents", Expert
			Opin. Invest. Drugs, Vol. 6, No. 7, 867-873 (1997)
1 T		CAI	Bertinato, P., et al., "Studies Toward a Synthesis of Epothilone A:
			Stereocontrolled Assembly of the Acyl Region and Models for
			Macrocyclization", J. Org. Chem., Vol. 61, No. 23, 8000-8001 (1996)
T		CAJ	Chemical & Engineering News, "Epothilone Epiphany: Total Syntheses",
			Vol. 74, No. 52, 24-26 (1996)
90	1 21	CAK	
L VV	\ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \		Vol. 75, No. 13, 23 (1997)

RECEIVED

APR 2 9 2002

FORM PTO-1449 NEORMATION DISCLOSURE STATEMENT

Docket No: LDOPEGHNEN Sheet 5 07 6
Serial No.: 10/055,653 Group: 1642
Applicant: Bandyopadhyay et al.
Filing Date: January 23, 2002

	CAL	luding Author, Title, Date, Pertinent Pages, etc.) (Continued) Chemical & Engineering News, "Solid-Phase Epothilone Synthesis Used
9118		to Create Analog Library", Vol. 75, No. 20, 33 (1997)
1	CAM	Tetrahedron Lett., Vol. 38, No. 8, 1359-1362 (1997)
	CAN	De Brabander, J., et al., "Towards a Synthesis of Epothilone A: Rapid Assembly of the C1-C6 and C7-C12 Fragments", Synlett, Vol. 7, 824-826 (1997)
	CAO	Gabriel, T. and Wessjohann, L., "The Chromium-Reformatsky Reaction: Asymmetric Synthesis of the Aldol Fragment of the Cytotoxic Epothilons from 3-(2-Bromoacyl)-2-Oxazolidinones", <i>Tetrahedron Lett.</i> , Vol. 38, No. 8, 1363-1366 (1997)
	CAP	Gerth, K., et al., "Epothilons A and B: Antifungal and Cytotoxic Compounds from Sorangiusm cellulosum (Myxobacteria) Production, Physico-chemical and Biological Properties", J. Antibiotics, Vol. 49, No. 6, 560-563 (1996)
	CAQ	15, No. 3, 205 (1997)
	CAR	
	CAS	Meng, D., et al., "Total Syntheses of Epothilones A and B", <i>J. Am. Chem. Soc.</i> , Vol. 119, No. 42, 10073-10092 (1997)
	CAT	Mensching, S. and Kalesse, M., "Generation of Thiazoles by Column Dehydrogenation of Thiazolidines with MnO ₂ ", <i>J. Prakt. Chem.</i> , Vol. 339, No. 1, 96-97 (1997)
	CAU	Mulzer, J. and Mantoulidis, A., "Synthesis of the C(1)-C(9) Segment of the Cytotoxic Macrolides Epothilon A and B", <i>Tetrahedron Lett.</i> , Vol. 37, No. 51, 9179-9182 (1996)
	CAV	Nicolaou, K., et al., "Chemistry, Biology and Medicine of Selected Tubulin Polymerizing Agents", <i>Pure Appl. Chem.</i> , Vol. 71, No. 6, 989-997 (1999)
		Nicolaou, K., et al., "Total Synthesis of Epothilone E and Related Side- chain Modified Analogues Via a Stille Coupling Based Strategy", <i>Bioorg.</i> <i>Med. Chem.</i> , Vol. 7, No. 5, 665-697 (1999)
	CAX	Schinzer, D., et al., "Studies Towards the Total Synthesis of Epothilones: Asymmetric Synthesis of the Key Fragments", <i>Chem. Eur. J.</i> , Vol. 2, No. 22, 1477-1482 (1996)
·	CAY	Taylor, R. and Haley, J., "Towards the Synthesis of Epothilone A: Enantioselective Preparation of the Thiazole Sidechain and Macrocyclic Ring Closure", <i>Tetrahedron Lett.</i> , Vol. 38, No. 12, 2061-2064 (1997)
	CAZ	Schinzer, D., et al., "Syntheses of (-)-Epothilone A", Chem. Eur. J., Vol. 5, No. 9, 2483-2491 (1999)
10/11	СВА	Schinzer, D., et al., "Syntheses of (-)-Epothilone B", <i>Chem. Eur. J.</i> , Vol. 5, No. 9, 2492-2500 (1999)

2/20/03

RECEIVE

APR 2 : Valle

FORM PTO-1449 NFORMATION DISCLOSURE STATEMENT

APR 2 6 2002

Docket No: LD0284 NP Sheet 6 of 6
Serial No.: 10/0pg/#52 N Group: 1642
Applicant: Bandyopadhyay et al.
Filing Date: January 23, 2002

OTHER (Including Author, Title, Date, Pertinent Pages, etc.) (Continued)

		·
91K		Nicolaou, K. C., et al., "Synthesis and Biological Properties of C12,13- Cyclopropylepothilone A and Related Epothilones", <i>Chemistry & Biology</i> , Vol. 5, No. 7, 365-372 (1998)
	CBC	Altmann, K.H., et al., "Epothilones and Related Structures – A New Class of Microtubule Inhibitors With Patent In Vivo Antitumor Activity," Biochim. Biophys Acta, 1470 (2000)
		Nicolaou et al., "Total Synthesis of Epothilone E and Analogs with Modified Side Chains Through The Stille Coupling Reaction", Angew. Chem. Int. Ed. 37, 84-87 (1998)
		Nicolaou et al., "Total Synthesis of Oxazole- and Cyclopropane- Containing Epothilone B Analogues by the Macrolactonization Approach", Chemistry, European Journal, Vol. 3, No. 12, 1971-1986 (1997)
4112	CBF	Nicolaou et al., "Chemical Biology of Epothilones", Angew. Chem. Int. Ed., 37, 2014-2045 (1988)

James Bleamer

2/20/03